

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
20 January 2005 (20.01.2005)

PCT

(10) International Publication Number  
**WO 2005/005422 A1**

(51) International Patent Classification<sup>7</sup>: C07D 413/14,  
413/06, A61K 31/422, A61P 31/04

(21) International Application Number:  
PCT/US2004/020738

(22) International Filing Date: 29 June 2004 (29.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/483,901 2 July 2003 (02.07.2003) US  
60/546,985 24 February 2004 (24.02.2004) US

(71) Applicants (for all designated States except US): **MERCK & CO., INC.** [US/US]; P.O. Box 2000, Rahway, NJ 07065 (US). **KYORIN PHARMACEUTICAL CO., LTD.** [JP/JP]; 5, Kanda Surugadai 2-chome, Chiyoda-ku, Tokyo (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HAMMOND, Milton, L.** [US/US]; 50 Stony Brook Road, Branchburg, NJ 08876 (US). **FUKUDA, Yasumichi** [JP/JP]; 33-2, Utsukusigaoka 3-chome, Oyama, Tochigi 329-0207 (JP).

(74) Agents: **TOFFENETTI, Judith, L.** et al.; McDermott Will & Emery LLP, 600 13th Street, N.W., Washington, DC 2005-3096 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

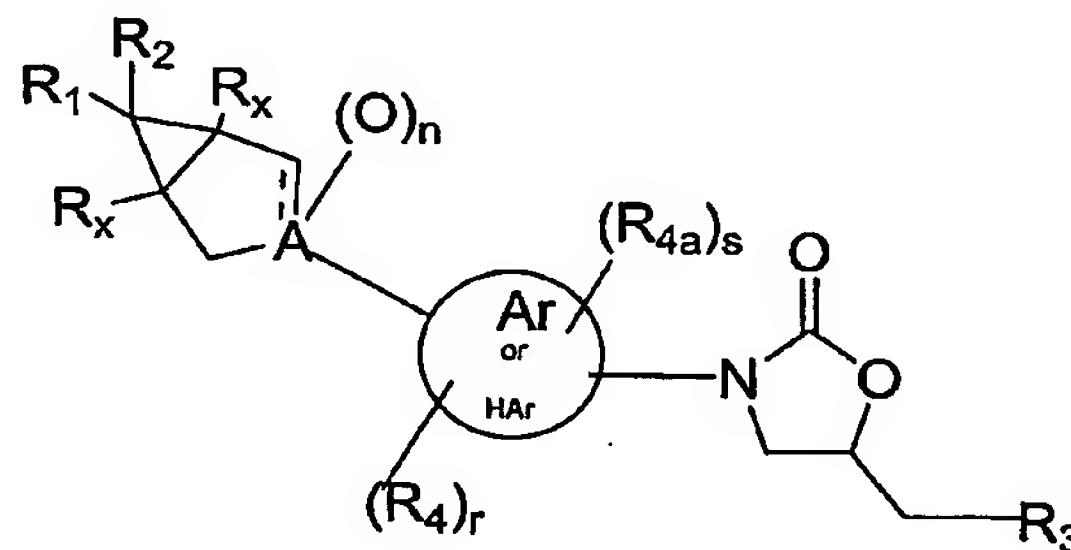
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: OXAZOLIDINONE ANTIBIOTICS AND DERIVATIVES THEREOF



(I)

(57) Abstract: This invention relates to new oxazolidinones having a cyclopropyl moiety, which are effective against aerobic and anerobic pathogens such as multi-resistant staphylococci, streptococci and enterococci, *Bacteroides* spp., *Clostridia* spp. Species, as well as acid-fast organisms such as *Mycobacterium tuberculosis* and other mycobacterial species. The compounds are represented by structural formula: (I); its enantiomer, diastereomer, or pharmaceutically acceptable salt or ester thereof.

WO 2005/005422 A1